Product Name: BYL-719

Chemical Properties

Product Name: BYL-719
Cas No.: 1217486-61-7
M.Wt.: 441.47
Formula: C19H22F3N5O2S
Synonyms: BYL 719; BYL719
Chemical Name: (2S)-1-N-[4-methyl-5-[2-(1,1,1-trifluoro-2-methylpropan-2-yl)pyridin-4-yl]-1,3-thiazol-2-yl]pyrrolidine-1,2-dicarboxamide
Canonical SMILES: CC1=C(SC(=N1)NC(=O)N2CCCC2C(=O)N)C3=CC(=NC=C3)C(C)(C)C(F)(F)F
Solubility: \( \geq 22.1 \text{mg/mL in DMSO} \)
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PI3K/Akt/mTOR Signaling
Pathways: PI3K
Description:
BYL719 is a selective PI3Kα inhibitor with IC50 of 5 nM. It has minimal effect on PI3Kβ, γ and δ[1]. Dysregulation of the PI3K signaling pathway is involved in multiple cancers. Among genes encoding different PI3K catalytic subunits, PIK3CA is mutated in many cancers. Therefore, PI3Kα-specific inhibitor may have anti-tumor activity in PI3Kα mutant cancers with fewer side effects compared to other pan-PI3K inhibitors.
BYL719 exhibited favorable pharmacokinetics and excellent oral bioavailability in animal models. In xenografts using nude mice, it showed dose-dependent effect of tumor inhibition[1]. It reduced proliferation and induced apoptosis in multiple myeloma cells which have higher expression of PIK3CA. The same study also observed synergistic effect between BYL719 and bortezomib or carfilzomib[2]. Clinical data suggests a disable safety profile with manageable side effects for BYL719. It also showed preliminary anti-tumor activity as a single agent in cancer patients[3]. This compound is currently tested in several clinical studies both as single agent and in combination with other agents.

**Reference:**

**Protocol**

**Cell experiment:**

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>Multiple myeloma cells (OPM1, OPM2, RPMI8226, U266, MM1s,MM1R) and NCI-H9290</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.</td>
</tr>
<tr>
<td>Reacting conditions</td>
<td>37oC</td>
</tr>
<tr>
<td>Applications</td>
<td>BYL719 prominently decreases the activation of the PI3K signaling proteins (pAKT, pS6R, and pGSK), this effect are also observed in slico that BYL719 decreases the expression of the PI3K signaling proteins in a dose-dependent manner. Furthermore, BYL719 dose-dependently triggers G1 arrest and induces apoptosis in MM cells.</td>
</tr>
</tbody>
</table>

**Animal experiment [3]:**
Animal models | 5-week-old male C57Bl/6J mice transplanted with human osteoblastic osteosarcoma
---|---
Dosage form | Oral administration, 12.5–50 mg/kg daily
Applications | BYL719 significantly reduces tumor volumes in a dose-dependent manner and reduces the tumor ectopic bone. In addition, BYL719 decreases the surface of TRAP+ osteoclasts without affecting the number of osterix+ cells. Moreover, BYL719 decreases of Ki67+ cell number and reduces tumor vascularization.
Other notes | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations


Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.